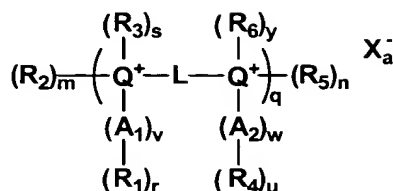


**What Is Claimed Is:**

SUB A.1/1.

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A compound having the formula:



wherein

Q is selected from the group consisting of N, O and S;

L is C, CH, (CH<sub>2</sub>)<sub>i</sub>, or {(CH<sub>2</sub>)<sub>i</sub> - Y - (CH<sub>2</sub>)<sub>j</sub>}<sub>k</sub>, wherein Y is selected from the group consisting of CH<sub>2</sub>, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, a phosphate, a sulfate, a sulfoxide, an imine, a carbonyl, and a secondary amino group and wherein Y is optionally substituted by -X<sub>1</sub>-L'-X<sub>2</sub>-Z or -Z;

R<sub>1</sub> - R<sub>6</sub>, independently of one another, are selected from the group consisting of H, -(CH<sub>2</sub>)<sub>p</sub>-D-Z, an alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and wherein at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64 carbon atoms; and R<sub>1</sub> and R<sub>4</sub> or R<sub>3</sub> and R<sub>6</sub> may optionally be covalently linked with each other, with Y or with L when L is C or CH to form a cyclic moiety;

Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, peptide, and protein;

X<sub>1</sub> and X<sub>2</sub>, independently of one another, are selected from the group consisting of NH, O, S, alkylene, and arylene;

L' is selected from the group consisting of alkyl, alkenylene, alkynylene, arylene, alkylene ether, and polyether;

D is Q or a bond;

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SUB A1  
CONT

$A_1$  and  $A_2$ , independently of one another, are selected from the group consisting of  $CH_2O$ ,  $CH_2S$ ,  $CH_2NH$ ,  $C(O)$ ,  $C(NH)$ ,  $C(S)$  and  $(CH_2)_i$ ;

$X$  is a physiologically acceptable anion;

$m$ ,  $n$ ,  $r$ ,  $s$ ,  $u$ ,  $v$ ,  $w$  and  $y$  are 0 or 1, with the proviso that when both  $m$  and  $n$  are 0

5 at least one of  $r$ ,  $s$ ,  $u$  and  $y$  is other than 0;

$i$ ,  $j$ ,  $k$ ,  $l$ ,  $p$  and  $t$  are integers from 0 to about 100;

$q$  is an integer from 1 to about 1000; and

$a$  is the number of positive charge divided by the valence of the anion.

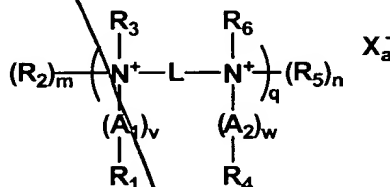
10 2. The compound as claimed in claim 1, wherein at least one of  $R_1$ ,  $R_3$ ,  $R_4$  and  $R_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl having from about 8 to about 24 carbon atoms.

15 3. The compound as claimed in claim 1, wherein the alkyl ether optionally substituted by one or more alcohol groups comprises a carbohydrate.

4. The compound as claimed in claim 3, wherein the carbohydrate is selected from the group consisting of galactose, fructose, glucose, maltose, sucrose, cellobiose, lactose, mannose, glucopyranose, mannopyranose and galactopyranose.

20

5. ~~A compound~~ <sup>THE Compound</sup> as claimed in claim 1, wherein said compound has the formula:



25 wherein

$L$  is  $(CH_2)_i$  or  $\{(CH_2)_i - Y - (CH_2)_j\}_k$ , wherein  $Y$  is selected from the group consisting of  $CH_2$ , an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, and a secondary amino group;

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SUB B6

5  $R_1 - R_6$ , independently of one another, are selected from the group consisting of H,  $-(CH_2)_p-Z$ , an alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of  $R_1$ ,  $R_3$ ,  $R_4$  and  $R_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64 carbon atoms; and  $R_1$  and  $R_4$  or  $R_3$  and  $R_6$  may optionally be covalently linked with each other to form a cyclic moiety;

10 Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidyl, putricinyl, diaminoalkyl, pyridyl, piperidyl, pyrrolidyl, polyamine, amino acid, peptide, and protein;

$A_1$  and  $A_2$ , independently of one another, are selected from the group consisting of  $CH_2O$ ,  $CH_2S$ ,  $CH_2NH$ ,  $C(O)$ ,  $C(NH)$ ,  $C(S)$  and  $(CH_2)_i$ ;

X is a physiologically acceptable anion;

15 m, n, v and w are 0 or 1;

i, j, k, l, p and t are integers from 1 to about 100;

q is an integer from 1 to about 1000; and

a is the number of positive charge divided by the valence of the anion, wherein when m and n are 0, then a is 0.

20 6. The compound as claimed in claim 5, wherein at least one of  $R_1$ ,  $R_3$ ,  $R_4$  and  $R_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl having from about 8 to about 24 carbon atoms.

25 7. The compound as claimed in claim 5, wherein the alkyl ether optionally substituted by one or more alcohol groups comprises a carbohydrate.

30 8. The compound as claimed in claim 7, wherein the carbohydrate is selected from the group consisting of galactose, fructose, glucose, maltose, sucrose, cellobiose, lactose, mannose, glucopyranose, mannopyranose and galactopyranose.

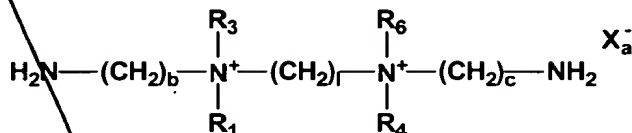
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SUB B6  
cont

SUB B7

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9. The compound as claimed in claim 5, wherein said compound has the formula:

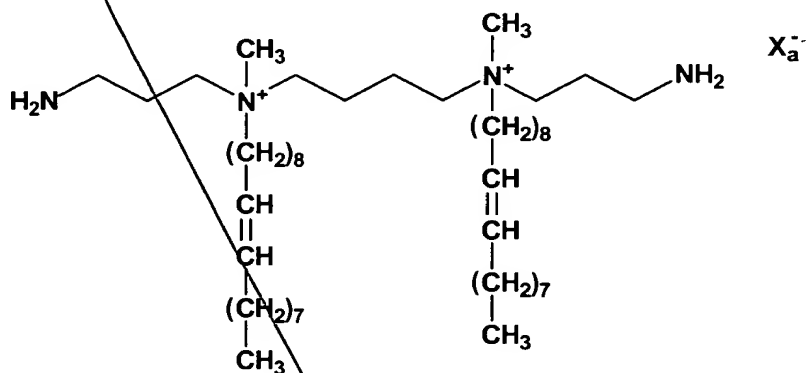


5 wherein

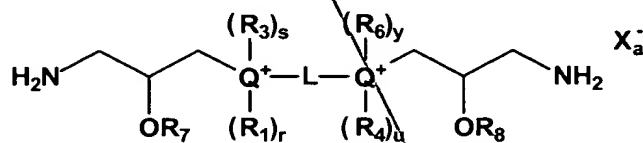
R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms; and

l, b and c are integers independently selected from 1 to about 4.

10. The compound as claimed in claim 9, which is:



11. The compound as claimed in claim 1, wherein said compound has the formula:



wherein

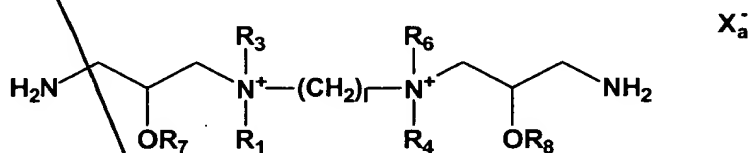
Q and L are as defined in claim 1;

R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

r, s, u and y are 0 or 1; and

R<sub>7</sub> and R<sub>8</sub> are independently H or a carbohydrate.

12. The compound as claimed in claim 11, wherein said compound has the formula:



wherein

R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkenyl or aryl group having from about 8 to about 24 carbon atoms;

R<sub>7</sub> and R<sub>8</sub> are independently H or a carbohydrate; and

l is an integer from 1 to about 4.

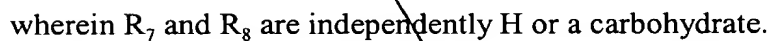
13. The compound as claimed in claim 12, which is:

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D1



15. The compound as claimed in claim 1, wherein said compound has the formula:

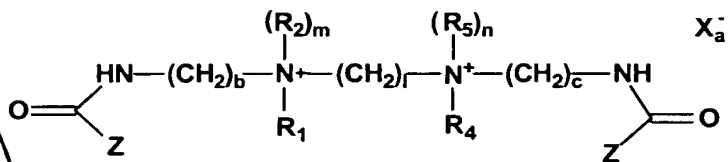


15 R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

20            m, n, r and u are 0 or 1; and

1, b and c are integers independently selected from 1 to about 4.

16. The compound as claimed in claim 5, wherein said compound has the formula:



wherein

R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

Z is selected from the group consisting of spermyl, spermidyl, amino acid, peptidyl, diaminoalkyl, and polyamine;

m and n are 0 or 1; and

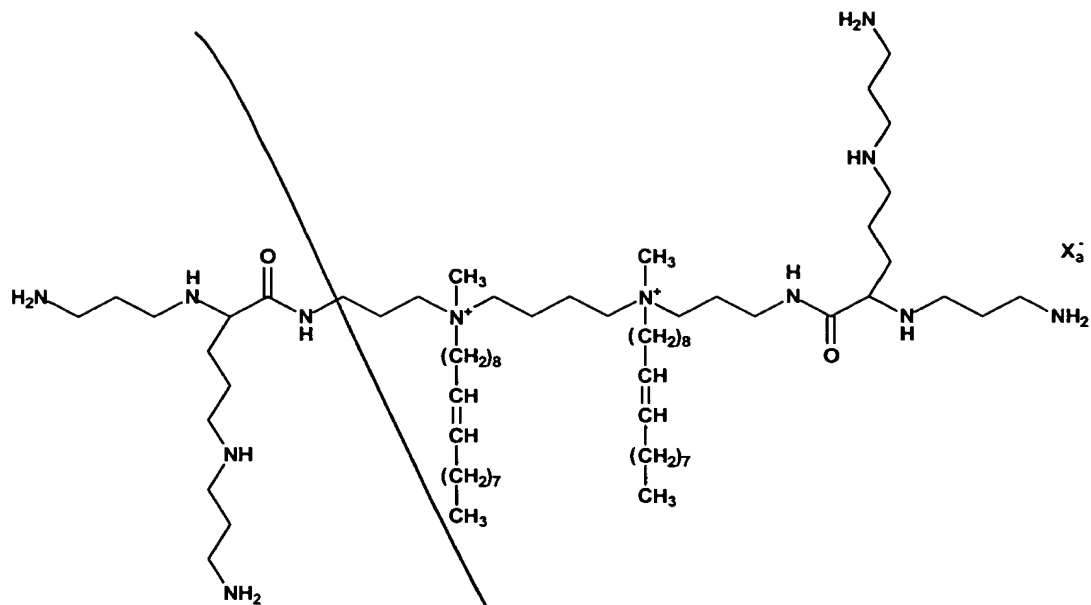
l, b and c are integers independently selected from 1 to about 4.

17. The compound as claimed in claim 16, which is:

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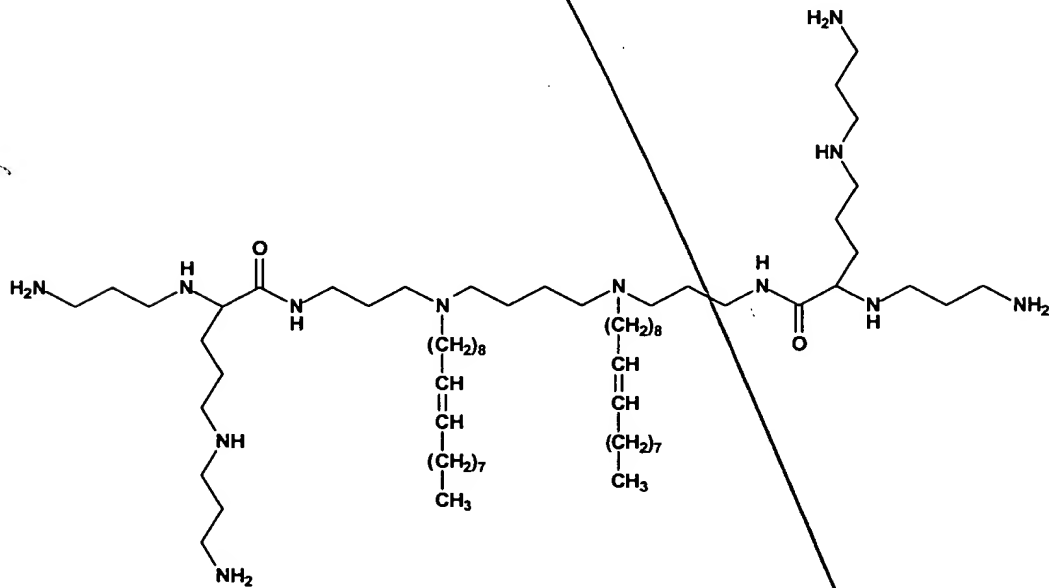
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18. The compound as claimed in claim 16, which is:

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NCCCCNC(=O)C(CCCN)NC(=O)NCCCCN
$$\text{O}=\text{N}-\text{CH}_2-\text{CH}(\text{OR}_7)-\text{CH}_2-\text{Q}^+-\text{(CH}_2\text{)}_l-\text{Q}^+-\text{CH}_2-\text{CH}(\text{OR}_8)-\text{N}=\text{O} \quad \text{X}_a^-$$

wherein

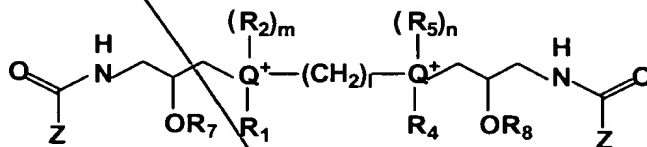
R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

Z is selected from the group consisting of spermyl, spermidyl, amino acid, peptidyl, diaminoalkyl, and polyamine;

R<sub>7</sub> and R<sub>8</sub> are independently H or a carbohydrate; and

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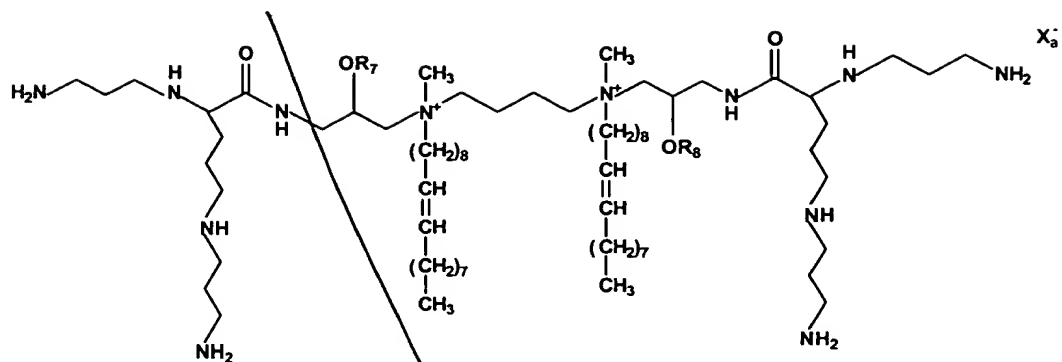
at least one of R<sub>1</sub> and R<sub>4</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

Z is selected from the group consisting of spermiyl, spermidyl, amino acid, peptidyl, diaminoalkyl, and polyamine;

20

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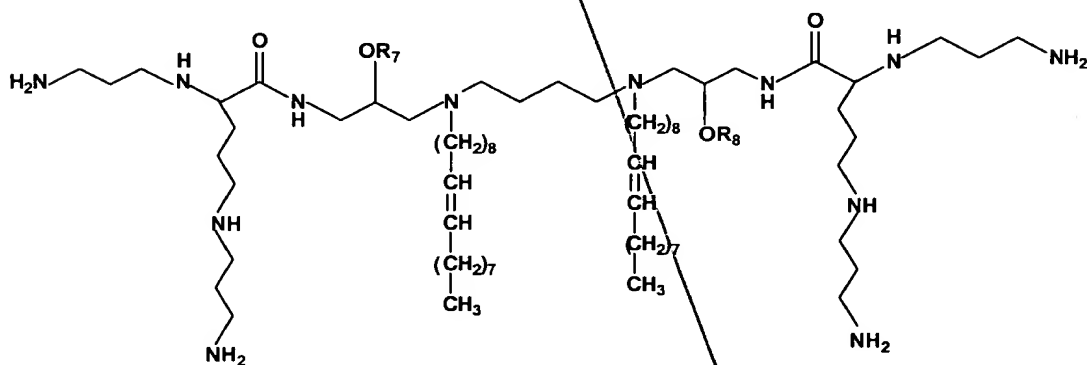
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wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

24. The compound according to claim 23, wherein  $R_7$  and  $R_8$  are H.

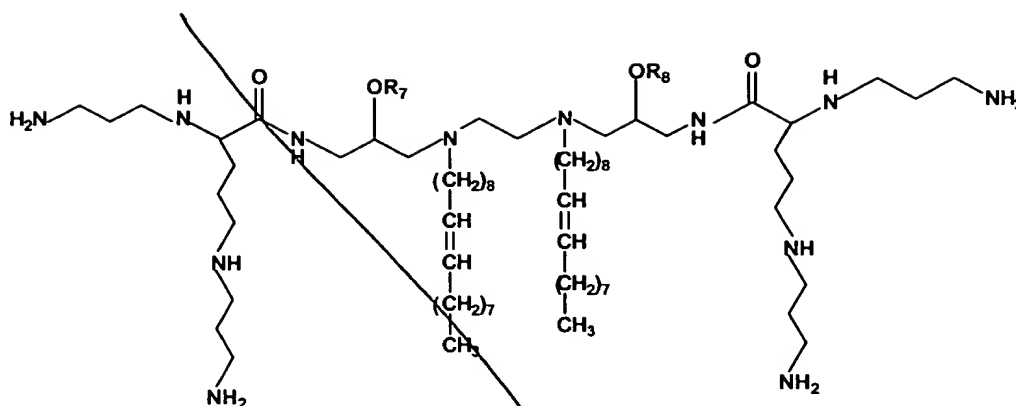
25. The compound as claimed in claim 21, which is:



wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

26. The compound as claimed in claim 25, wherein  $R_7$  and  $R_8$  are H.

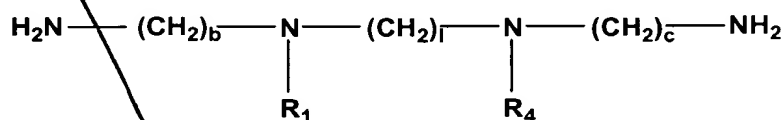
27. The compound as claimed in claim 21, which is:



wherein R<sub>7</sub> and R<sub>8</sub> are independently H or a carbohydrate.

28. The compound as claimed in claim 27, wherein R<sub>7</sub> and R<sub>8</sub> are H.

29. The compound as claimed in claim 5, wherein said compound has the formula:

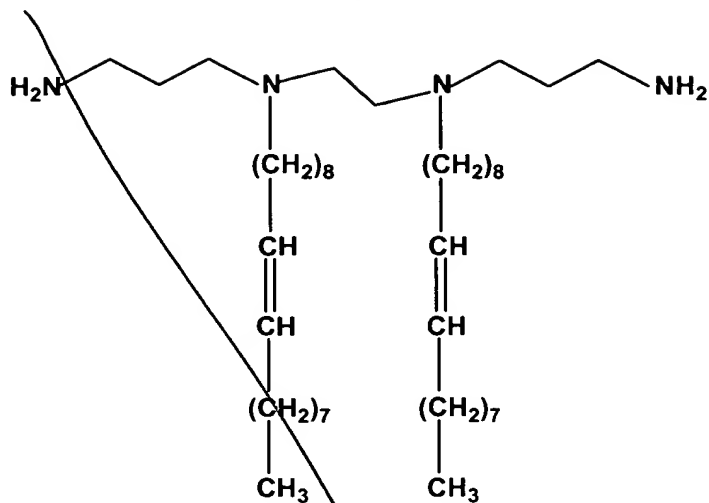


wherein

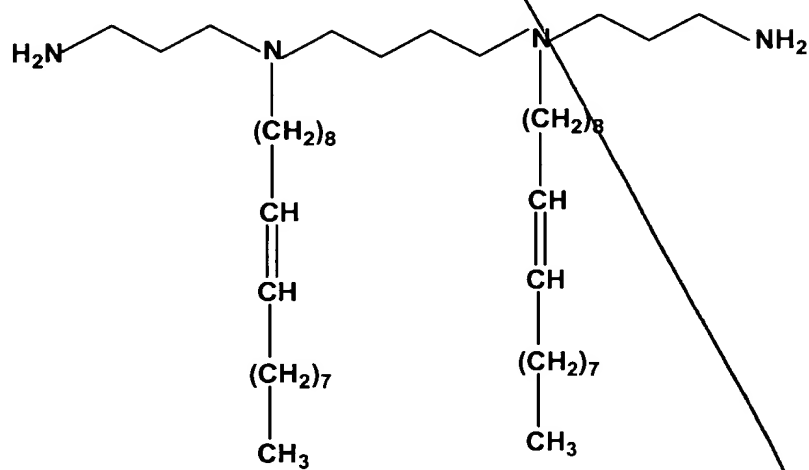
at least one of R<sub>1</sub> and R<sub>4</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms; and

l, b and c are integers independently selected from 1 to about 4.

30. The compound as claimed in claim 29, which is:

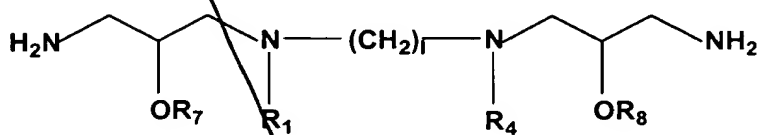


- 5 31. The compound as claimed in claim 29, which is:



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32. The compound as claimed in claim 5, wherein said compound has the formula:



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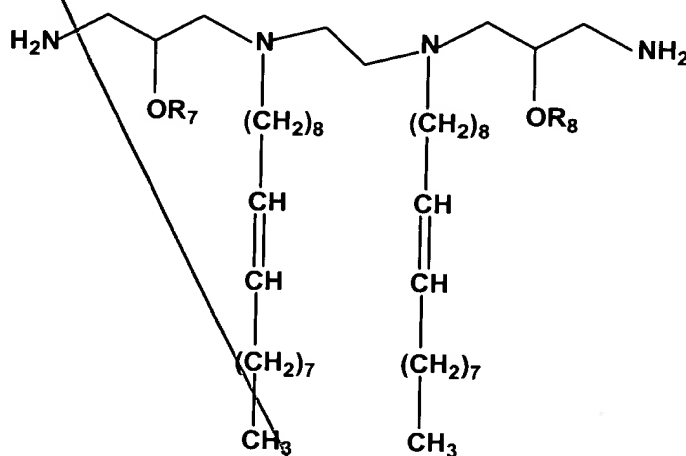
wherein

at least one of  $R_1$  and  $R_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;  $R_7$  and  $R_8$  are independently hydrogen or a carbohydrate; and

$l$  is an integer from 1 to about 4.

33. The compound as claimed in claim 32, which is:

10



wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

34. The compound as claimed in claim 33, wherein  $R_7$  and  $R_8$  are H.

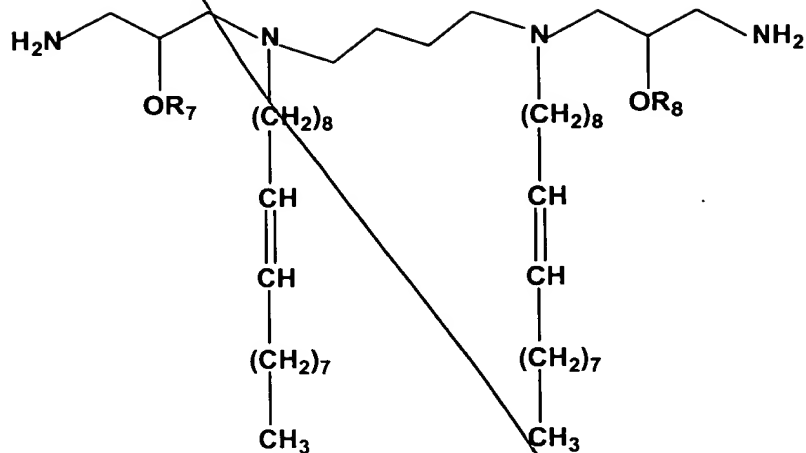
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35. The compound as claimed in claim 32, which is:

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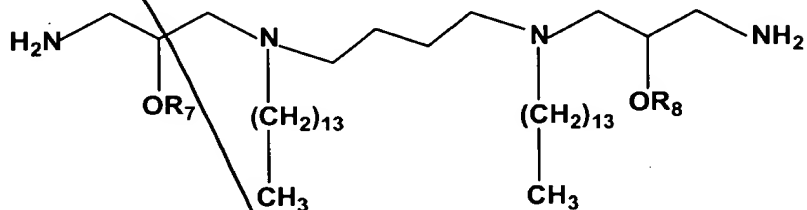


wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

5

36. The compound as claimed in claim 35, wherein  $R_7$  and  $R_8$  are H.

37. The compound as claimed in claim 32, which is:



wherein  $R_7$  and  $R_8$  independently are H or a carbohydrate.

15

38. The compound as claimed in claim 37, wherein  $R_7$  and  $R_8$  are H.

39. The compound as claimed in claim 32, which is:

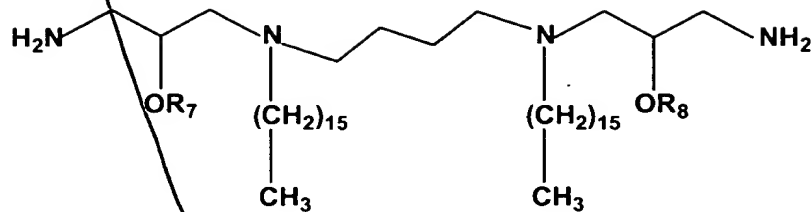
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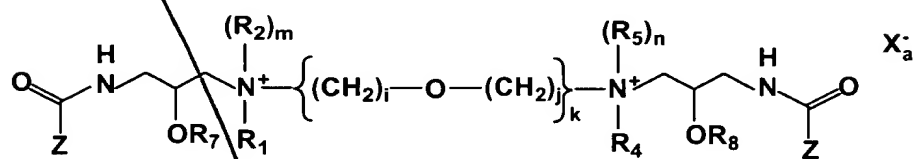
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wherein  $R_7$  and  $R_8$  are H or a carbohydrate.

40. The compound as claimed in claim 39, wherein  $R_7$  and  $R_8$  are H.

41. The compound as claimed in claim 5, wherein said compound has the formula:



wherein

Z is as defined in claim 5;

15 at least one of  $R_1$  and  $R_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

$R_2$  and  $R_5$ , independently of one another, are selected from the group consisting of H and a  $C_1$ - $C_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$R_7$  and  $R_8$  are independently H or a carbohydrate;

m and n are 0 or 1;

i and j are integers from about 2 to about 3; and

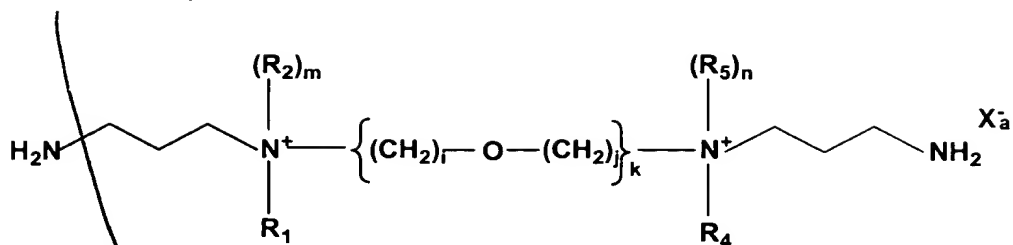
k is an integer from 1 to about 3.

25

42. The compound as claimed in claim 5, wherein said compound has the formula:

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SUB B14



wherein

at least one of  $\text{R}_1$  and  $\text{R}_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

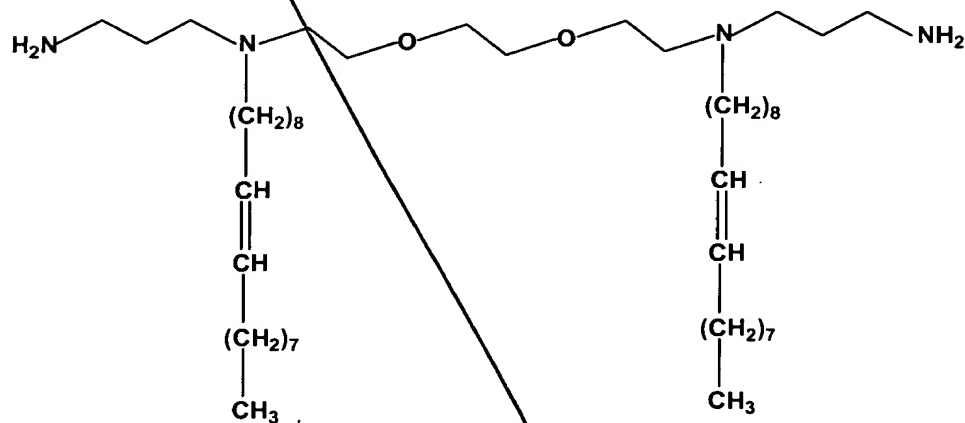
$\text{R}_2$  and  $\text{R}_5$ , independently of one another, are selected from the group consisting of H and a  $\text{C}_1$ - $\text{C}_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

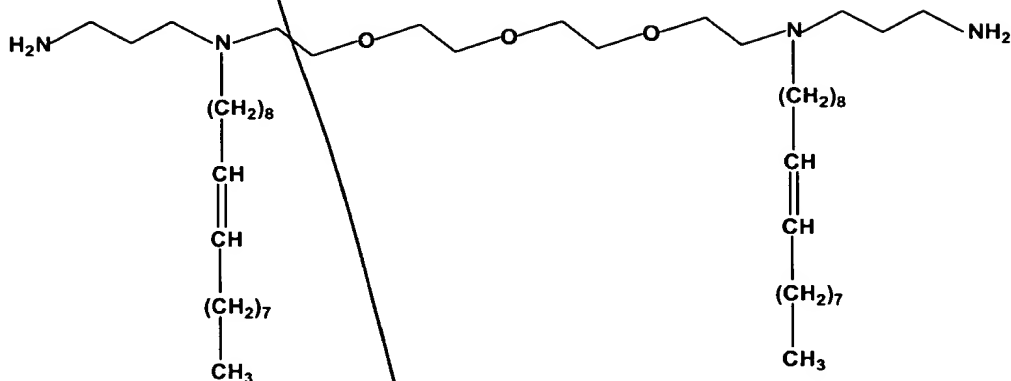
$i$  and  $j$  are integers from about 2 to about 3; and

$k$  is an integer from 1 to about 3.

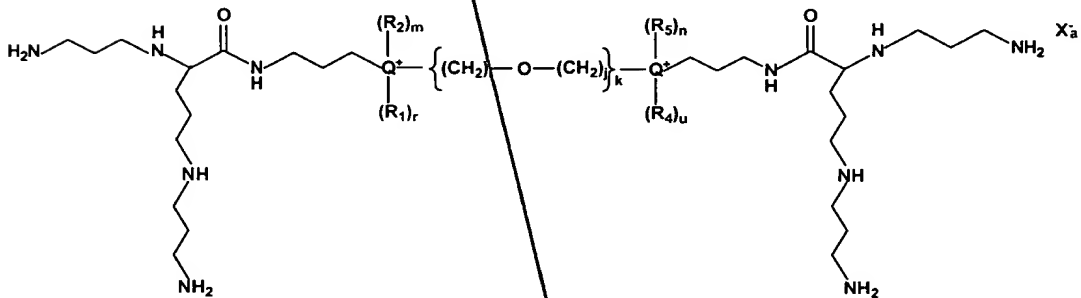
43. The compound as claimed in claim 42, which is:



44. The compound as claimed in claim 42, which is:



45. The compound as claimed in claim 1, wherein said compound has the formula:



wherein

Q, R<sub>1</sub>, R<sub>4</sub>, r, u, m and n are as defined in claim 1;

R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub>-C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

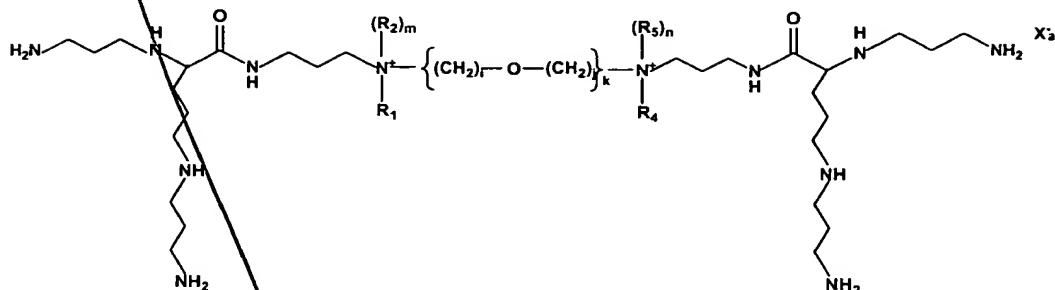
i and j are integers from about 2 to about 3; and

k is an integer from 1 to about 3.

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②

46. The compound as claimed in claim 5, wherein said compound has the formula:



5

wherein

at least one of  $\text{R}_1$  and  $\text{R}_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

$\text{R}_2$  and  $\text{R}_5$ , independently of one another, are selected from the group consisting of H and a  $\text{C}_1$ - $\text{C}_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

$i$  and  $j$  are integers from about 2 to about 3; and

$k$  is an integer from 1 to about 3

15

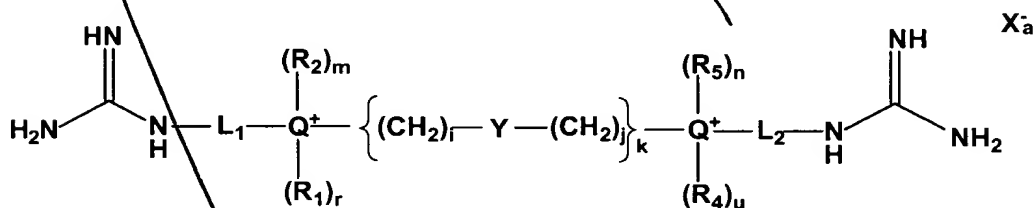
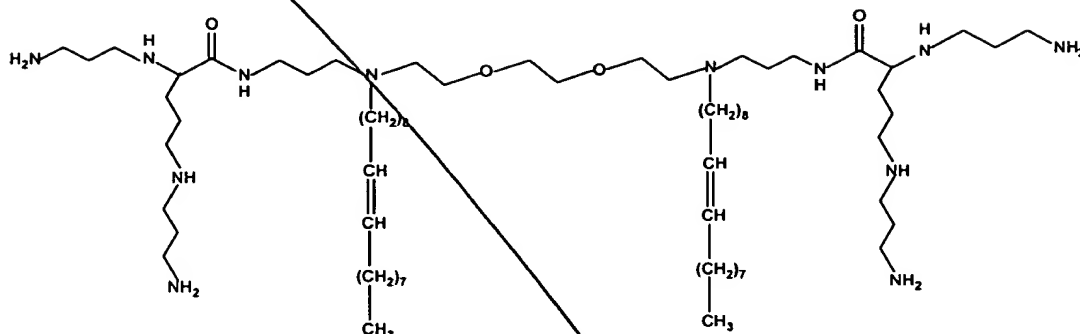
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48. The compound as claimed in claim 1, wherein said compound has the formula:



$Q, R_1, R_4, r, u, m$  and  $n$  are as defined in claim 1;

R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub> - C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

i and j are integers from about 2 to about 3;

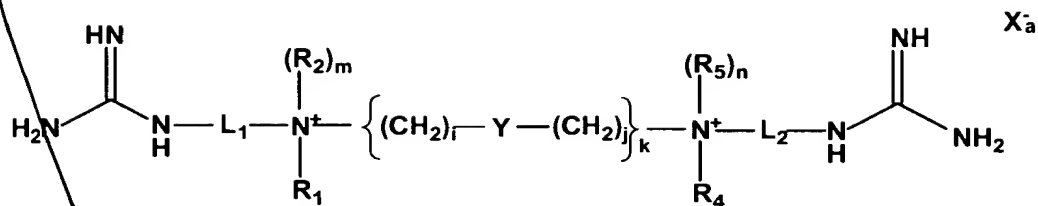
k is an integer from 1 to about 3;

$L_1$  and  $L_2$  independently from one another, are an alkylene or an alkylene ether;

and

Y is selected from the group consisting of CH<sub>2</sub>, O, S and NH.

49. The compound as claimed in claim 5, wherein said compound has the formula:



wherein

at least one of  $\text{R}_1$  and  $\text{R}_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

$\text{R}_2$  and  $\text{R}_5$ , independently of one another, are selected from the group consisting of H and a  $\text{C}_1 - \text{C}_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

$i$  and  $j$  are integers from about 2 to about 3;

$k$  is an integer from 1 to about 3;

$\text{L}_1$  and  $\text{L}_2$ , independently from one another, are an alkylene or an alkylene ether;

and

$\text{Y}$  is selected from the group consisting of  $\text{CH}_2$ , O, S and NH.

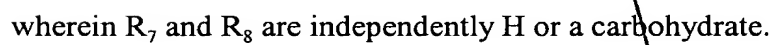
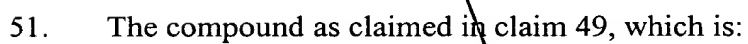
50. The compound as claimed in claim 49, which is:

20

SUB B16  
cont

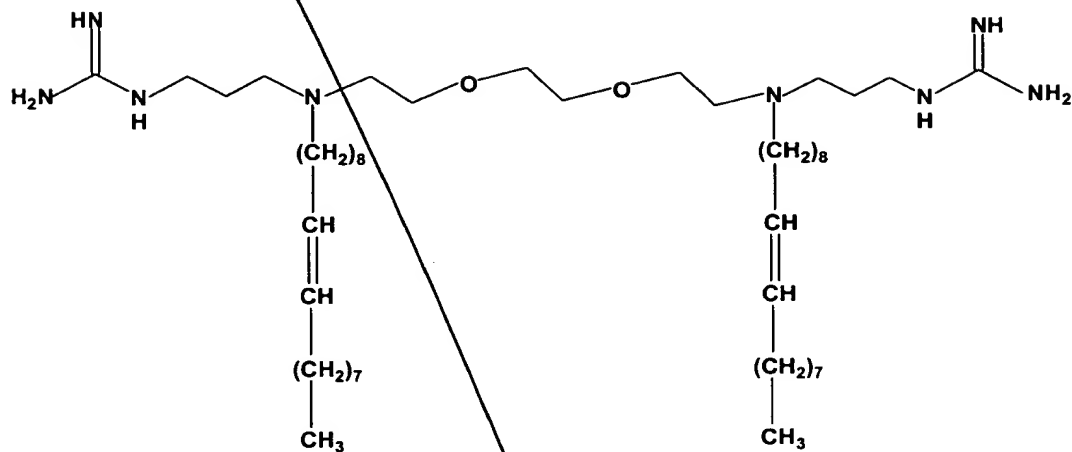
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SUB  
(1)

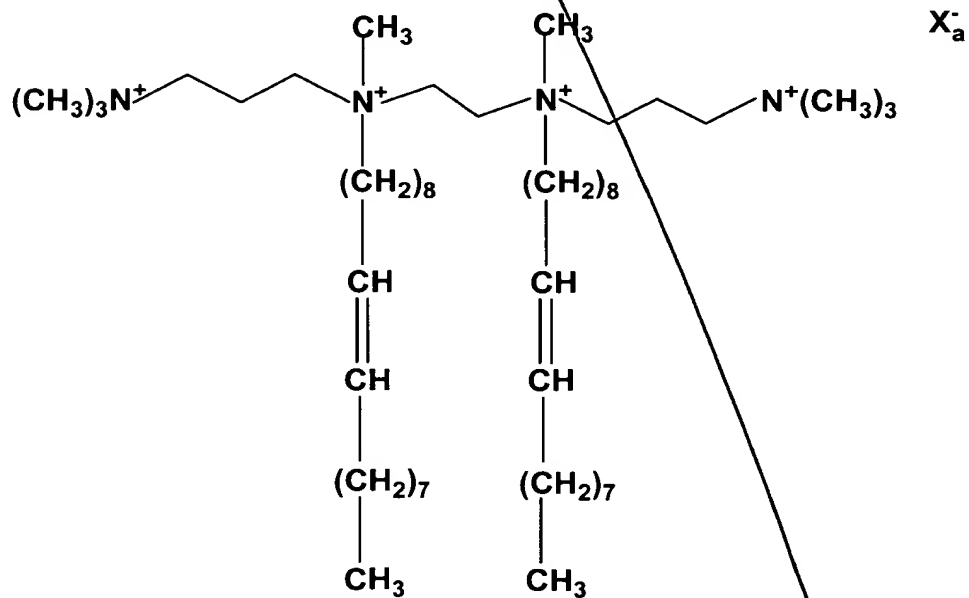


52. The compound as claimed in claim 51, wherein R<sub>7</sub> and R<sub>8</sub> are H.

53. The compound as claimed in claim 49, which is:

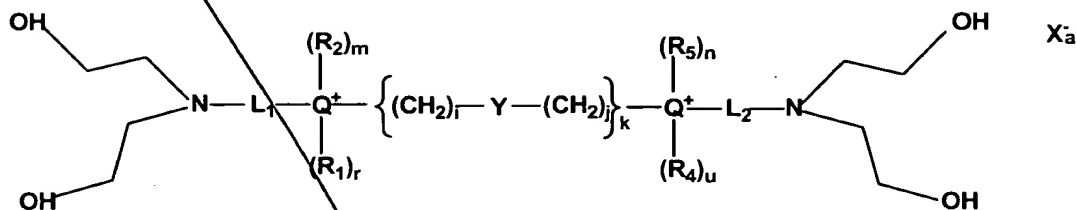


54. The compound as claimed in claim 5, which is:



Sub  
D<sub>5</sub>

55. The compound as claimed in claim 1, wherein said compound has the formula:



5 wherein

Q, R<sub>1</sub>, R<sub>4</sub>, r, u, m and n are as defined in claim 1;

R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub> - C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

i and j are integers from about 2 to about 3;

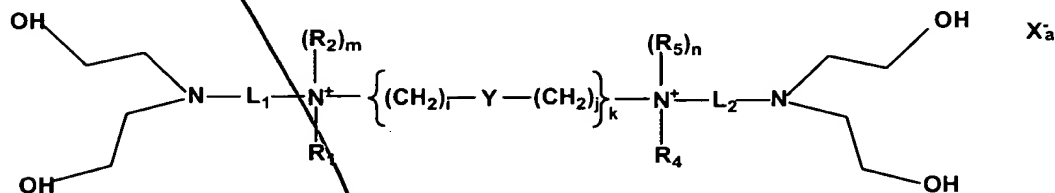
k is an integer from 1 to about 3;

L<sub>1</sub> and L<sub>2</sub>, independently from one another, are an alkylene or an alkylene ether;

and

Y is selected from the group consisting of CH<sub>2</sub>, O, S and NH.

56. The compound as claimed in claim 5, wherein said compound has the formula:



wherein

at least one of R<sub>1</sub> and R<sub>4</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub> - C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

25

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SUB D<sub>1</sub>

SUB B17

m and n are 0 or 1;

i and j are integers from about 2 to about 3;

k is an integer from 1 to about 3;

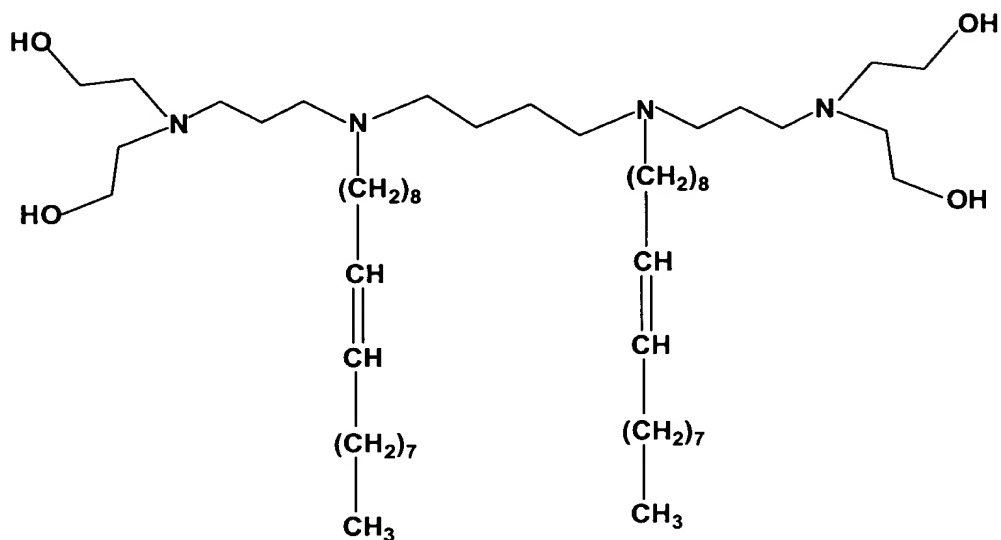
$L_1$  and  $L_2$ , independently from one another, are an alkylene or an alkylene ether;

5 and

Y is selected from the group consisting of  $\text{CH}_2$ , O, S and NH.

57. The compound as claimed in claim 56, which is:

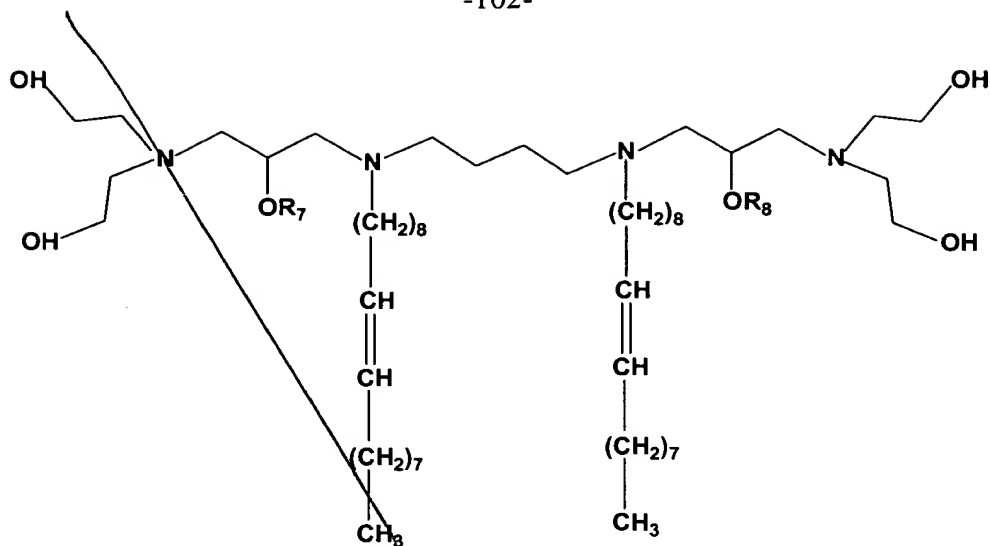
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58. The compound as claimed in 56, which is:

15

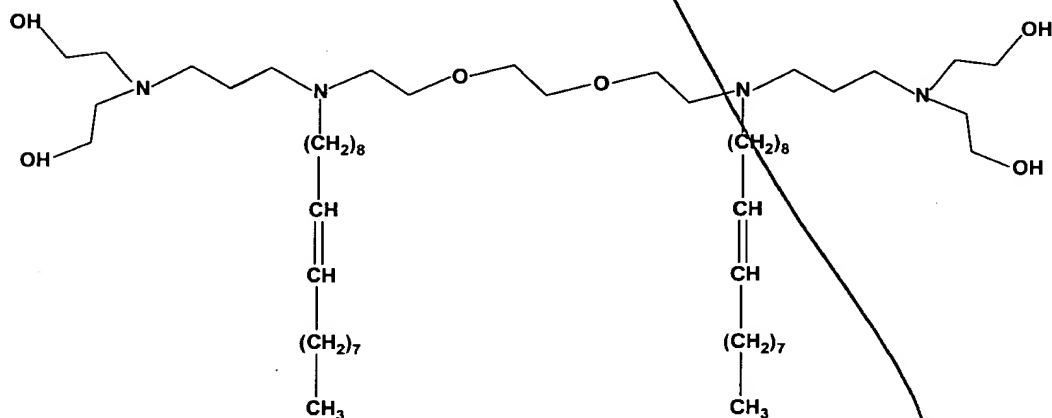
00433365 111299



wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

59. The compound as claimed in claim 58, wherein  $R_7$  and  $R_8$  are H.

60. The compound as claimed in 56, which is:

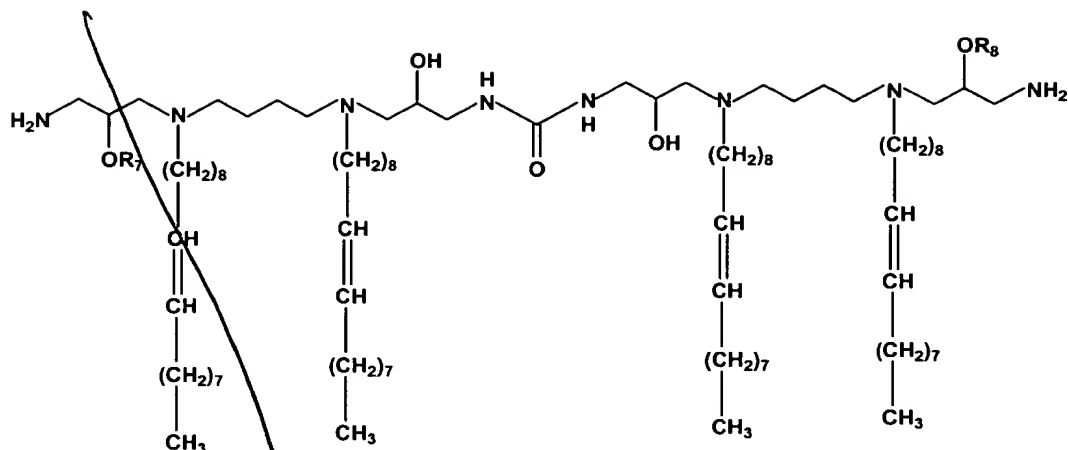


61. The compound as claimed in claim 5, which is:

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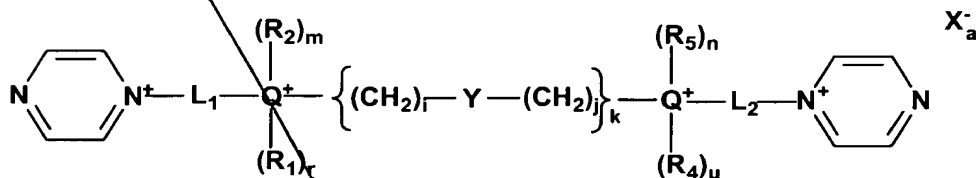
SUB  
D

SUB B18



5

- 10



wherein

~~Q, R<sub>1</sub>, R<sub>4</sub>, r, u, m and n are as defined in claim 1;~~

R<sub>2</sub> and R<sub>5</sub>, independently of one another, are selected from the group consisting of H and a C<sub>1</sub> - C<sub>8</sub> alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

i and j are integers from about 2 to about 3;

k is an integer from 1 to about 3;

L<sub>1</sub> and L<sub>2</sub>, independently from one another, are an alkylene or an alkylene ether;

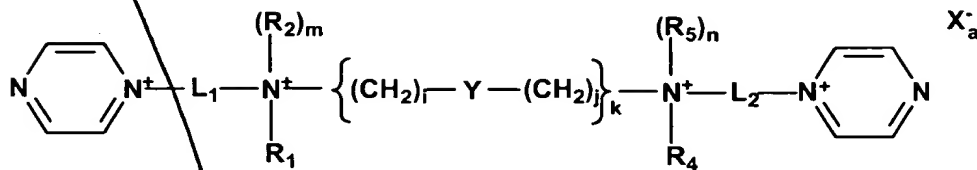
and

Y is selected from the group consisting of CH<sub>2</sub>, O, S and NH.

15

20

64. The compound as claimed in claim 5, wherein said compound has the formula:



wherein

at least one of  $\text{R}_1$  and  $\text{R}_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

10  $\text{R}_2$  and  $\text{R}_5$ , independently of one another, are selected from the group consisting of H and a  $\text{C}_1 - \text{C}_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

$i$  and  $j$  are integers from about 2 to about 3;

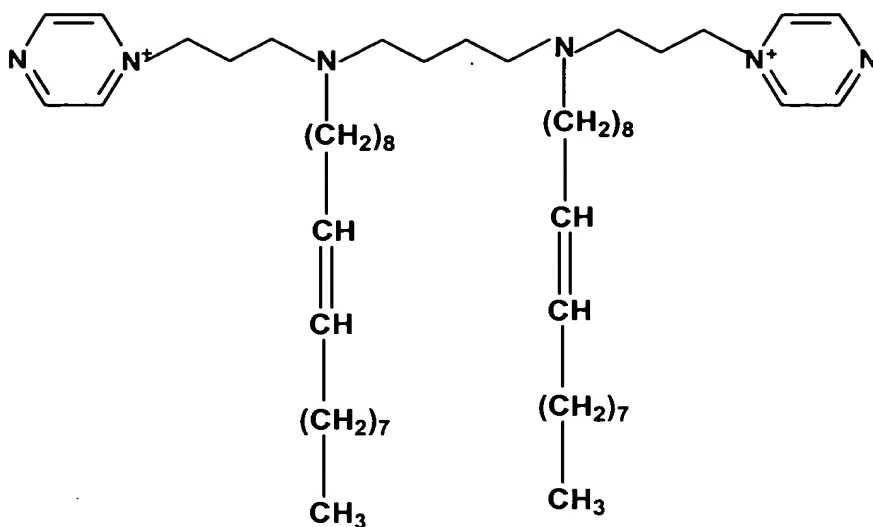
15  $k$  is an integer from 1 to about 3;

$\text{L}_1$  and  $\text{L}_2$ , independently from one another, are an alkylene or an alkylene ether;

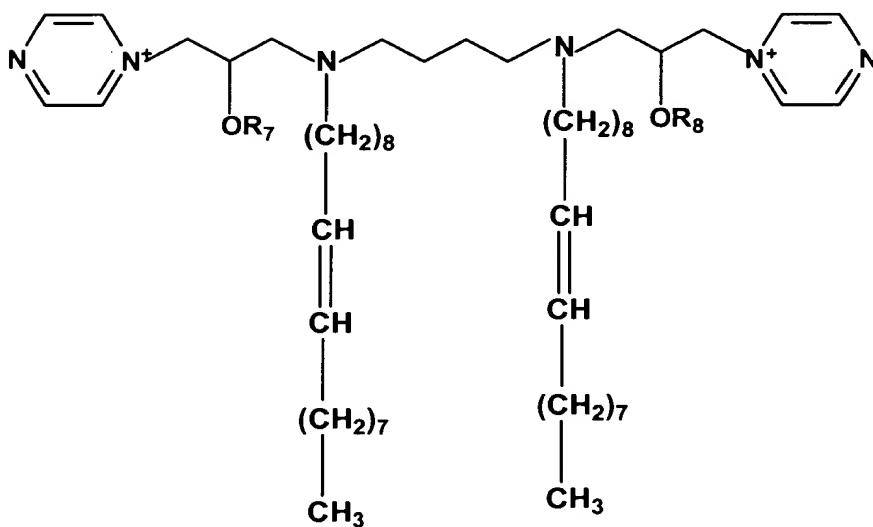
and

$\text{Y}$  is selected from the group consisting of  $\text{CH}_2$ , O, S and NH.

- 20 65. The compound as claimed in claim 64, which is:
- SUB D1



- 5 66. The compound as claimed in claim 64, which is:

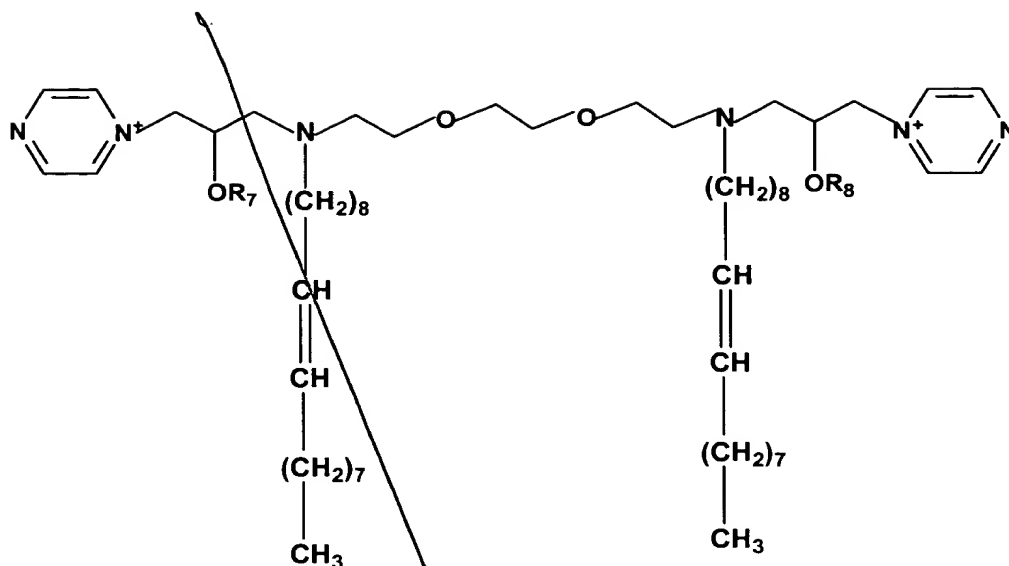


10

wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

67. The compound as claimed in claim 66, wherein  $R_7$  and  $R_8$  are H.

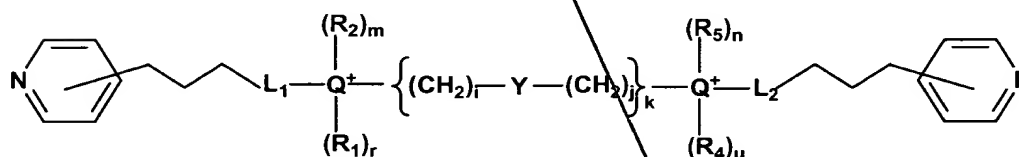
- 15 68. The compound as claimed in claim 64, which is:



wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

69. The compound as claimed in claim 68, wherein  $R_7$  and  $R_8$  are H.

70. The compound as claimed in claim 1, wherein said compound has the formula:



wherein

15  $Q$ ,  $R_1$ ,  $R_2$ ,  $r$ ,  $u$ ,  $m$  and  $n$  are as defined in claim 1;

$R_2$  and  $R_5$ , independently of one another, are selected from the group consisting of H and a  $C_1 - C_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

20  $i$  and  $j$  are integers from about 2 to about 3;

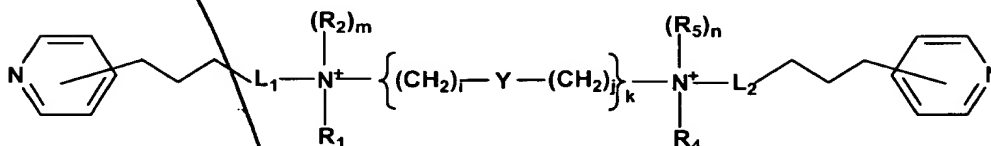
$k$  is an integer from 1 to about 3;

$L_1$  and  $L_2$ , independently from one another, are an alkylene or an alkylene ether;

and

$Y$  is selected from the group consisting of  $CH_2$ , O, S and NH.

5 71. The compound as claimed in claim 5, wherein said compound has the formula:



10

wherein

at least one of  $R_1$  and  $R_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

15  $R_2$  and  $R_5$ , independently of one another, are selected from the group consisting of H and a  $C_1 - C_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

$i$  and  $j$  are integers from about 2 to about 3;

20  $k$  is an integer from 1 to about 3;

$L_1$  and  $L_2$ , independently from one another, are an alkylene or an alkylene ether;

and

$Y$  is selected from the group consisting of  $CH_2$ , O, S and NH.

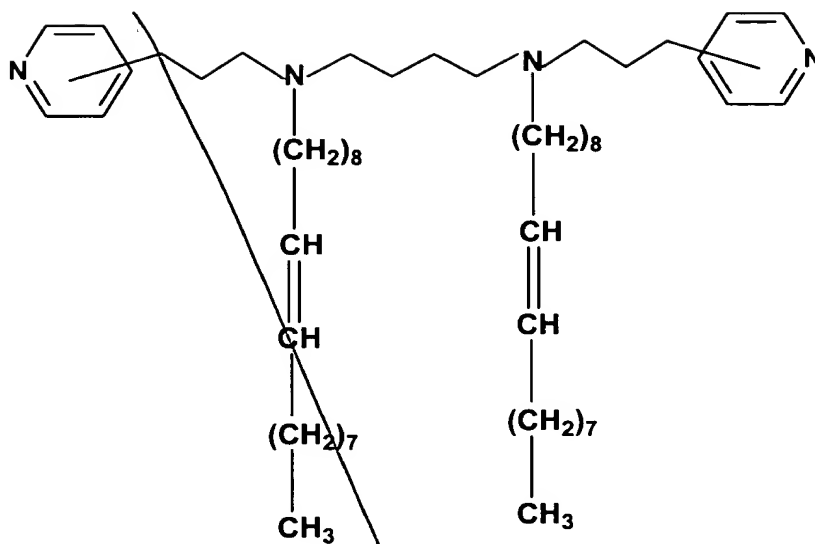
25 72. The compound as claimed in claim 71, which is:

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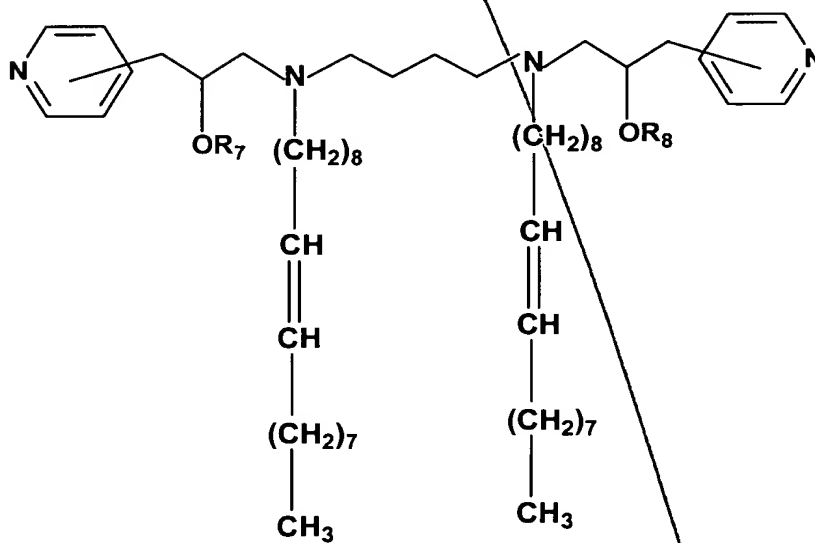
SUB  
D1

SUB B20

SUB  
D1



5 73. The compound as claimed in claim 71, which is:



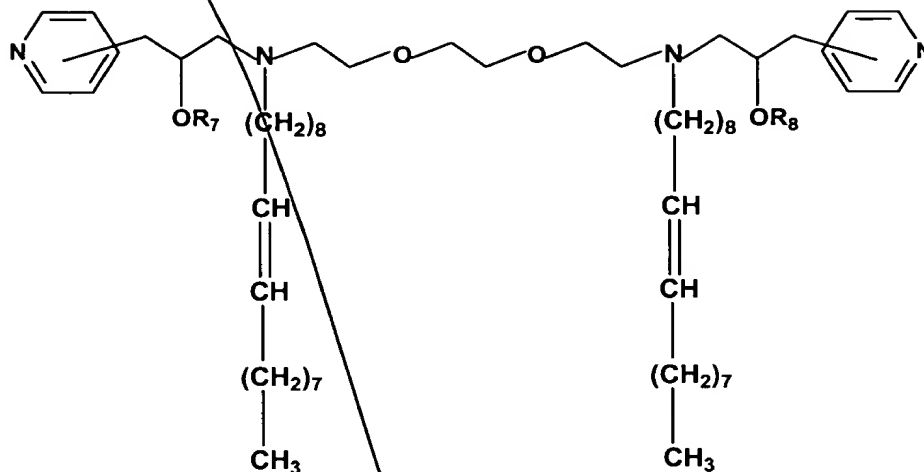
10 wherein R<sub>7</sub> and R<sub>8</sub> are independently H or a carbohydrate.

74. The compound according to claim 73, wherein R<sub>7</sub> and R<sub>8</sub> are H.

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Sub  
D1

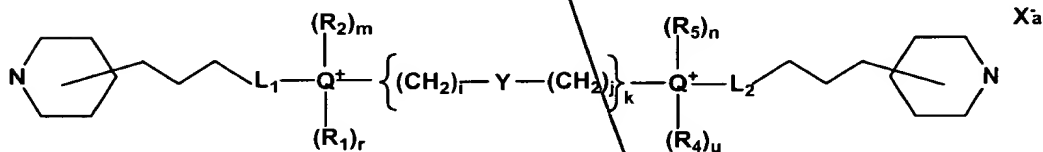
75. The compound as claimed in claim 71, which is:



wherein  $R_7$  and  $R_8$  independently are H or a carbohydrate.

76. The compound as claimed in claim 75, wherein  $R_7$  and  $R_8$  are H.

77. The compound as claimed in claim 1, wherein said compound has the formula:



wherein

$Q$ ,  $R_1$ ,  $R_4$ ,  $r$ ,  $u$ ,  $m$ , and  $n$  are as defined in claim 1;

$R_2$  and  $R_5$ , independently of one another, are selected from the group consisting of H and a  $C_1 - C_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan,

a urea, a thiourea, a guanidyl, or a carbamoyl group;

$i$  and  $j$  are integers from about 2 to about 3;

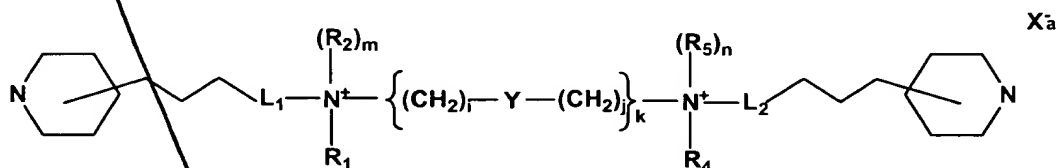
$k$  is an integer from 1 to about 3;

$L_1$  and  $L_2$ , independently from one another, are an alkylene or an alkylene ether;

and

$Y$  is selected from the group consisting of  $CH_2$ , O, S and NH.

5 78. The compound as claimed in claim 5, wherein said compound has the formula:



10 wherein

at least one of  $R_1$  and  $R_4$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms;

$R_2$  and  $R_5$ , independently of one another, are selected from the group consisting of H and a  $C_1 - C_8$  alkyl, alkenyl, aryl, and alkyl optionally substituted by one or more of an alcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group;

$m$  and  $n$  are 0 or 1;

$i$  and  $j$  are integers from about 2 to about 3;

$k$  is an integer from 1 to about 3;

20  $L_1$  and  $L_2$ , independently from one another, are an alkylene or an alkylene ether;

and

$Y$  is selected from the group consisting of  $CH_2$ , O, S and NH.

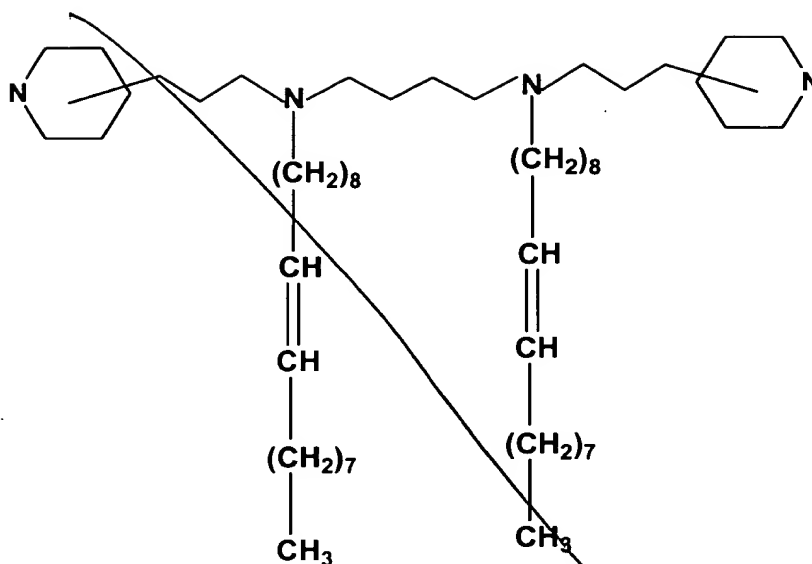
79. The compound as claimed in claim 78, which is:

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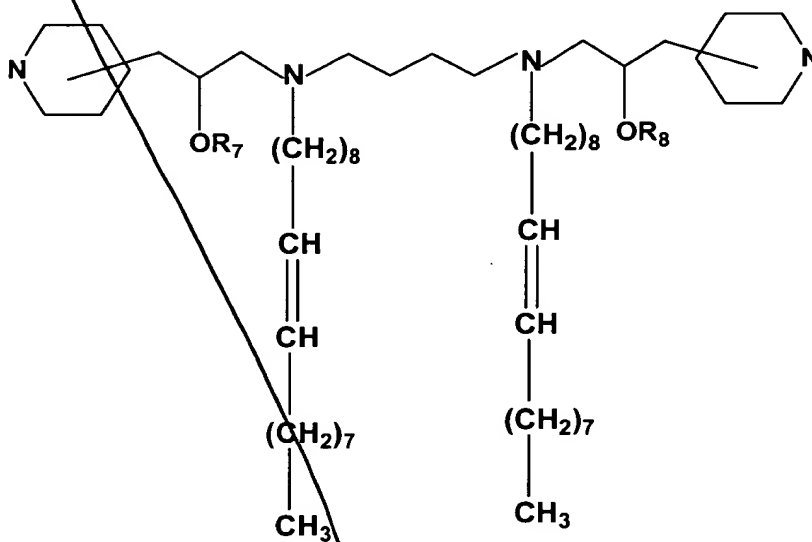
SUB  
D1

SUB B21

SUB 25  
D1



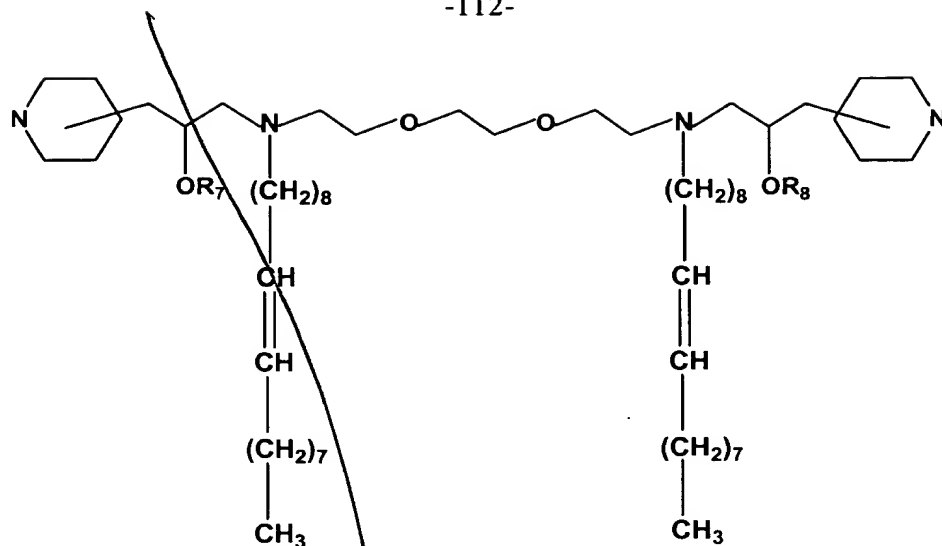
- 5 80. The compound as claimed in claim 78, which is:



wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

- 10 81. The compound as claimed in claim 80, wherein  $R_7$  and  $R_8$  are H.

82. The compound as claimed in <sup>claim</sup>~~claim~~ 78, which is:



SUB 823  
cont

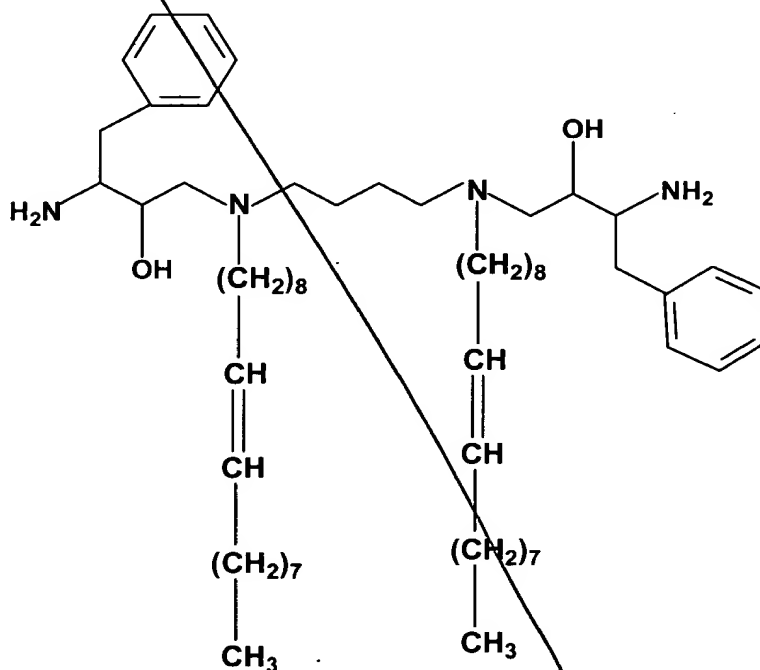
wherein  $R_7$  and  $R_8$  are independently H or a carbohydrate.

5

83. The compound as claimed in claim 82, wherein  $R_7$  and  $R_8$  are H.

84. The compound as claimed in claim 5, which is:

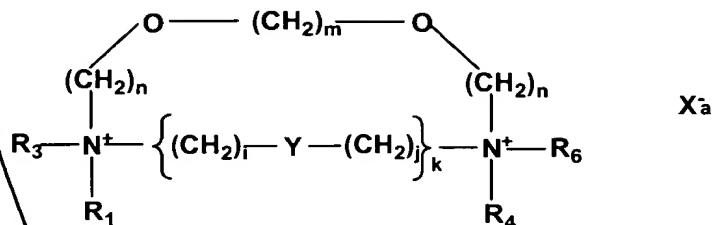
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SUB  
D1

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85. The compound as claimed in claim 1, wherein said compound has the formula:



5 wherein

Y is selected from the group consisting of CH<sub>2</sub>, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, a phosphate, a sulfate, a sulfoxide, an imine, a carbonyl, and a secondary amino group and wherein Y is optionally substituted by -X<sub>1</sub>-L'-X<sub>2</sub>-Z or -Z;

10 R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub>, independently of one another, are selected from the group consisting of H, -(CH<sub>2</sub>)<sub>p</sub>-D-Z, an alkyl, an alkenyl, an aryl, and an alkyl or an alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a  
15 straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from 6 to about 64 carbon atoms; and R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> may optionally be covalently linked with each other or with Y, to form a cyclic moiety;

Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl,  
20 polyamine, amino acid, peptide, and protein;

X<sub>1</sub> and X<sub>2</sub>, independently of one another, are selected from the group consisting of NH, O, S, alkylene, and arylene;

L' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, alkylene ether, and polyether;

25 D is Q or a bond;

m and n are 0 or 1; and

i, j, k, l and p are integers from 1 to about 10.

SUB B24

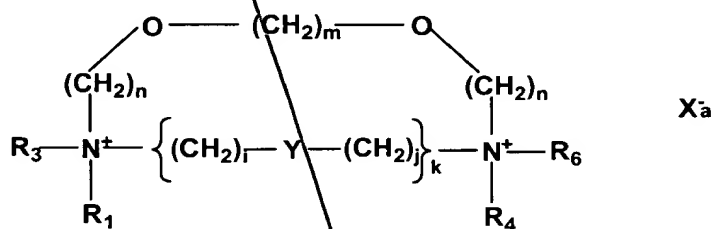
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86. The compound as claimed in claim 85, wherein at least one of R<sub>1</sub> and R<sub>4</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms.

5 87. The compound as claimed in claim 85, wherein the alkyl ether optionally substituted by one or more alcohol groups is a carbohydrate.

88. The compound as claimed in claim 87, wherein the carbohydrate is selected from the group consisting of galactose, fructose, glucose, maltose, sucrose, cellobiose, lactose,  
10 mannose, glucopyranose, mannopyranose and galactopyranose.

89. The compound as claimed in claim 85, wherein said compound has the formula:



15 wherein

Y is selected from the group consisting of CH<sub>2</sub>, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, and a secondary amino group;

R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub>, independently of one another, are selected from the group  
20 consisting of H,  $-(\text{CH}_2)_p\text{-Z}$ , an alkyl, an alkenyl, an aryl, and an alkyl or an alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64  
25 carbon atoms; and R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> may optionally be covalently linked with each other, to form a cyclic moiety;

Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, peptide, and protein;

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Sub  
D

m and n are 0 or 1; and

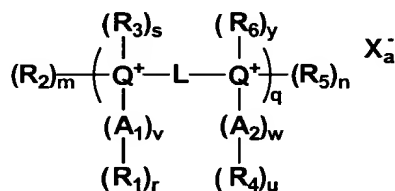
i, j, k, l and p are integers from 1 to about 10.

90. The compound as claimed in claim 89, wherein at least one of R<sub>1</sub> and R<sub>4</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms.

91. The compound as claimed in claim 89, wherein the alkyl ether optionally substituted by one or more alcohol groups is a carbohydrate.

92. The compound as claimed in claim 91, wherein the carbohydrate is selected from the group consisting of galactose, fructose, glucose, maltose, sucrose, cellobiose, lactose, mannose, glucopyranose, mannopyranose and galactopyranose.

93. A compound having the formula:



wherein

Q is selected from the group consisting of N, O and S;

L is a bivalent organic radical capable of covalently linking each Q;

R<sub>1</sub> - R<sub>6</sub>, independently of one another, are selected from the group consisting of H, -(CH<sub>2</sub>)<sub>p</sub>-D-Z, an alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and wherein at least one of R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64 carbon atoms; and R<sub>1</sub> and R<sub>4</sub> or R<sub>3</sub> and R<sub>6</sub> may optionally be covalently linked with each other, or with L to form a cyclic moiety;

SUB 1

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SUB B25

Z is selected from the group consisting of amine, spermiyl, carboxyspermiyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, peptide, and protein;

D is Q or a bond;

A<sub>1</sub> and A<sub>2</sub>, independently of one another, are selected from the group consisting of CH<sub>2</sub>O, CH<sub>2</sub>S, CH<sub>2</sub>NH, C(O), C(NH), C(S) and (CH<sub>2</sub>)<sub>i</sub>;

X is a physiologically acceptable anion;

m, n, r, s, u, v, w and y are 0 or 1, with the proviso that when both m and n are 0 at least one of r, s, u and y is other than 0;

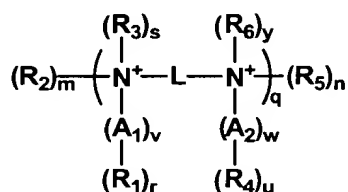
10 i, j, k, l, p and t are integers from 0 to about 100;

q is an integer from 1 to about 1000; and

a is the number of positive charge divided by the valence of the anion.

15 SUB B25 cont 5  
SUB A2 94. The compound as claimed in any one of claims 1, 2, 5, 6, 9, 11, 12, 15, 16, 20, 21, 22, 29, 32, 41, 42, 45, 46, 48, 49, 55, 56, 63, 64, 70, 71, 77, 78, 85, 86, 87, 88, 89, 90, 91, 92 and 93, wherein said cyclic group is a cholesteryl group.

20 95. A compound or a polycation having the formula:



wherein

L is C, CH, (CH<sub>2</sub>)<sub>1</sub>, or {(CH<sub>2</sub>)<sub>i</sub> - Y - (CH<sub>2</sub>)<sub>j</sub>}<sub>k</sub>, wherein Y is selected from the group consisting of CH<sub>2</sub>, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, a phosphate, a sulfate, a sulfoxide, an imine, a carbonyl, and a secondary amino group and wherein Y is optionally substituted by -X<sub>1</sub>-L'-X<sub>2</sub>-Z or -Z;

25 SUB B27  
R<sub>1</sub> - R<sub>6</sub>, independently of one another, are selected from the group consisting of H, -(CH<sub>2</sub>)<sub>p</sub>-D-Z, an alkyl, an alkenyl, an aryl, and an alkyl or an alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether,

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a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and wherein at least one of  $R_1$ ,  $R_3$ ,  $R_4$  and  $R_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64 carbon atoms;

5 Z is selected from the group consisting of amine, spermiyl, carboxyspermiyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, amino acid derivative, peptide, and protein;

$X_1$  and  $X_2$ , independently of one another, are selected from the group consisting of NH, O, S, alkylene and arylene;

10 L' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, alkylene ether, and polyether;

$A_1$  and  $A_2$ , independently of one another, are selected from the group consisting of  $CH_2O$ ,  $CH_2S$ ,  $CH_2NH$ ,  $C(O)$ ,  $C(NH)$ ,  $C(S)$  and  $(CH_2)_i$ ;

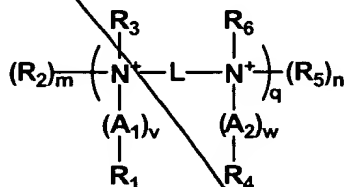
15 m, n, r, s, u, v, w and y are 0 or 1, with the proviso that when both m and n are 0 at least one of r, s, u and y is other than 0;

i, j, k, l, p and t are integers from 0 to about 100; and

q is an integer from 1 to about 1000.

96. The compound or the polycation as claimed in claim 95, wherein at least one of  $R_1$ ,  $R_3$ ,  $R_4$  and  $R_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms.

97. A compound or a polycation having the formula:



wherein

L is  $(\text{CH}_2)_1$  or  $\{(\text{CH}_2)_i - \text{Y} - (\text{CH}_2)_j\}_k$ , wherein Y is selected from the group consisting of  $\text{CH}_2$ , an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, and a secondary amino group;

5  $\text{R}_1 - \text{R}_6$ , independently of one another, are selected from the group consisting of H,  $-(\text{CH}_2)_p - \text{Z}$ , an alkyl, an alkenyl, an aryl, and an alkyl or an alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, a urea, a thiourea, a guanidyl, or a carbamoyl group, and at least one of  $\text{R}_1$ ,  $\text{R}_3$ ,  $\text{R}_4$  and  $\text{R}_6$  is a straight chain or branched,  
10 cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 6 to about 64 carbon atoms;

Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidyl, putricinyl, diaminoalkyl, pyridyl, piperidyl, pyrrolidyl, polyamine, amino acid, amino acid derivative, peptide, and protein;

15  $\text{A}_1$  and  $\text{A}_2$ , independently of one another, are selected from the group consisting of  $\text{CH}_2\text{O}$ ,  $\text{CH}_2\text{S}$ ,  $\text{CH}_2\text{NH}$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{NH})$ ,  $\text{C}(\text{S})$  and  $(\text{CH}_2)_i$ ;

m, n, v and w are 0 or 1;

i, j, k, l, p and t are integers from 1 to about 100; and

q is an integer from 1 to about 1000.

20

98. The compound or the polycation as claimed in claim 97, wherein at least one of  $\text{R}_1$ ,  $\text{R}_3$ ,  $\text{R}_4$  and  $\text{R}_6$  is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group having from about 8 to about 24 carbon atoms.

25 99. The compound or the polycation as claimed in claim 95 or 97, wherein the alkyl ether optionally substituted by one or more alcohol groups is a carbohydrate.

100. The compound or the polycation as claimed in claim 99, wherein the carbohydrate is selected from the group consisting of galactose, fructose, glucose, maltose, sucrose,  
30 cellobiose, lactose, mannose, glucopyranose, mannopyranose and galactopyranose.

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SUB  
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SUB A3 101. A composition comprising one or more compounds of any one of claims 1 to 93.

102. A composition comprising one or more compounds of any one of claims 1 to 93 and at least one additional component selected from the group consisting of a cell, cells, a cell culture, a cell culture media, a neutral lipid, a nucleic acid, and a transfection enhancer.

SUB A4 103. The composition of claim 102, which comprises a nucleic acid.

104. A lipid aggregate comprising one or more compounds of any one of claims 1 to 93.

105. The lipid aggregate of claim 104, which comprises at least one lipid aggregate-forming compound.

106. The lipid aggregate of claim 105, wherein said lipid aggregate-forming compound is selected from the group consisting of DOPE, DOPC and cholesterol.

SUB A5 107. A kit comprising one or more compounds of any one of claims 1 to 93 and at least one additional component selected from the group consisting of a cell, cells, a cell culture media, a nucleic acid, a transfection enhancer and instructions for transfecting a cell or cells.

108. A method for introducing a polyanion into a cell or cells, said method comprising forming a liposome from a positively charged compound of any one of claims 1 to 93, contacting the liposome with a polyanion to form a positively-charged polyanion-liposome complex and incubating the complex with a cell or cells.

109. A method for introducing a biologically active substance into a cell, said method comprising forming a liposome of a compound of any one of claims 1 to 93 and a biologically active substance and incubating the liposome with a cell or cell culture.

ADD B4) add c1

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